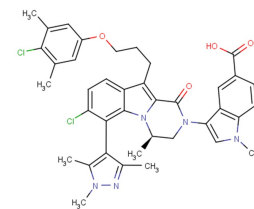


VU0661013

## Chemical Properties

CAS No.: 2131184-57-9  
Formula: C<sub>39</sub>H<sub>39</sub>Cl<sub>2</sub>N<sub>5</sub>O<sub>4</sub>  
Molecular Weight: 712.66  
Appearance: N/A  
Storage: 0-4°C for short term (days to weeks), or -20°C for long term (months).



## Biological Description

|                            |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |
|----------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Description                | VU661013 is an effective and selective inhibitor of MCL-1.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |
| Targets(IC <sub>50</sub> ) | Mcl-1: None                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |
| In vitro                   | VU661013 does not obviously suppress BCL-xL (K <sub>i</sub> >40 μM) or BCL-2 (K <sub>i</sub> =0.73 μM). VU661013 shows a K <sub>i</sub> of 97±30 pM to human MCL-1 in a TR-FRET assay by displacing a fluorescently labeled peptide derived from the pro-apoptotic protein BAK [1].                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |
| In vivo                    | VU661013 is a potent and selective MCL-1 inhibitor and is active in Venetoclax-resistant cells and patient-derived xenografts. VU661013 treatment of disseminated human AML results in a dose-dependent decrease in tumor burden, nearly eliminating the hCD45+ MV-4-11 cells at the 75 mg/kg dose in the blood (mean, 13.0±2.2% in vehicle vs 7.4±7.2% in 25mg/kg vs 0.17±0.12% in 75 mg/kg treated mice), bone marrow (mean, 40.7±13.9% in vehicle vs 33.46±4.0 % in 25 mg/kg vs 0.384±0.345 in 75 mg/kg treated mice), and spleen (mean, 46.22±13.3% in vehicle vs 13.31±10.0% in 25 mg/kg vs 1.588±1.51% in 75 mg/kg treated mice). Treatment with VU661013 reduces disease-associated splenomegaly (mean, vehicle vs. 75mg/kg, 0.17±0.02 vs 0.09±0.01g), and amending spleen to body weight ratio (vehicle vs 75mg/kg, 0.99 vs 0.50). In this study, NSGS mice are treated daily (starting 7 days after transplant) with vehicle only, 15 mg/kg or 75 mg/kg of VU661013. Analysis reveals an increase in survival in mice treated with the 75mg/kg dose (vehicle treated mice=31 days, vs 15 mg/kg=32 days, vs 75 mg/kg treated mice=43 Days) [1]. |

## Solubility Information

|            |                                                                                                |
|------------|------------------------------------------------------------------------------------------------|
| Solubility | DMSO: 125 mg/mL (175.40 mM)<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
|------------|------------------------------------------------------------------------------------------------|

## Preparing Stock Solutions

|       | 1mg      | 5mg      | 10mg      |
|-------|----------|----------|-----------|
| 1 mM  | 1.403 mL | 7.016 mL | 14.032 mL |
| 5 mM  | 0.281 mL | 1.403 mL | 2.806 mL  |
| 10 mM | 0.14 mL  | 0.702 mL | 1.403 mL  |
| 50 mM | 0.028 mL | 0.14 mL  | 0.281 mL  |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

## Reference

1. Haley E. Ramsey, et al. A Novel MCL-1 Inhibitor Combined with Venetoclax Rescues Venetoclax Resistant Acute Myelogenous Leukemia. Cancer Discov. August 28, 2018.

[Inhibitors](#) · [Natural Compounds](#) · [Compound Libraries](#)

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